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<p>(21) International Application Number: PCT/US97/10643 (22) International Filing Date: 20 June 1997 (20.06.97) (30) Priority Data: 60/016,088 20 June 1996 (20.06.96) US (60) Parent Application or Grant (63) Related by Continuation US 60/016,088 (CIP) Filed on 20 June 1996 (20.06.96) (71) Applicant (for all designated States except US): BOARD OF REGENTS, THE UNIVERSITY OF TEXAS SYSTEM [US/US]; 201 West 7th Street, Austin, TX 78701 (US). (72) Inventors; and (75) Inventors/Applicants (for US only): KERWIN, Sean [US/US]; 703 Ivy Court, Round Rock, TX 78681 (US). HURLEY, Laurence, H. [US/US]; 5915 N.W. Place, Austin, TX 78731 (US). DeLUCA, Mark, R. [US/US]; 3806 Oak Meadow Drive, Round Rock, TX 78681 (US). MOORE, Bob, M., III [US/US]; 9815 Copper Creek Drive, Austin, TX 78729</p>	<p>(US). MUNDY, Gregory [US/US]; 3719 Morgans Creek, San Antonio, TX 78230 (US). (74) Agent: MAYFIELD, Denise; Akin, Gump, Strauss, Hauer &amp; Feld, L.L.P., 1900 Frost Bank Plaza, 816 Congress Avenue, Austin, TX 78701 (US). (81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, ARIPO patent (GH, KE, LS, MW, SD, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).  Published <i>With international search report. Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i></p>	
<p>(54) Title: COMPOUNDS AND METHODS FOR PROVIDING PHARMACOLOGICALLY ACTIVE PREPARATIONS AND USES THEREOF (57) Abstract  The present invention relates to pharmacologically active compounds which are capable of binding to nuclear hormone receptors and are useful for the stimulation of osteoblast proliferation and ultimately bone growth. This invention also relates to the use of such compounds for the treatment or prevention of diseases and/or disorders associated with nuclear hormone receptor families.</p>		

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🔍 Title: **WO9748694A1: COMPOUNDS AND METHODS FOR PROVIDING PHARMACOLOGICALLY ACTIVE PREPARATIONS AND USES THEREOF** [\[French\]](#)

🔍 Derwent Title: Stimulation of osteoblast proliferation and BMP-2 promoter activity - by administration of aryl derivatives [\[Derwent Record\]](#)

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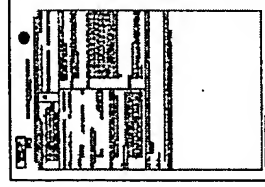
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🔍 Priority Number: 1996-06- US1996000016088P

🔍 Abstract: The present invention relates to pharmacologically active compounds which are capable of binding to nuclear hormone

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receptors and are useful for the stimulation of osteoblast proliferation and ultimately bone growth. This invention also relates to the use of such compounds for the treatment or prevention of diseases and/or disorders associated with nuclear hormone receptor families. [French]

⚡ Attorney, Agent or Firm:

⚡ INPADOC

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⚡ Designated

Country:

MAYFIELD, Denise ;

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+ **TITLE COMPOUNDS AND METHODS FOR PROVIDING PHARMACOLOGICALLY ACTIVE PREPARATIONS AND USES THEREOF**

+ **FIELD OF THE INVENTION**

The present invention relates to compounds and their use for the treatment of nuclear hormone receptor (NHR) family associated disorders. More specifically, the present invention relates to compounds having a particular 3-dimensional spatial orientation that are capable of binding to and thus altering the function of NHRs. Such compounds would be useful as therapeutic agents for disorders associated with NHRs such as the retinoid x receptor (RXR). The invention also relates to compositions and methods for the treatment or prophylaxis of osteoporosis, bone loss, arthritis, inflammation, cancer and skin conditions.

+ **BACKGROUND OF THE INVENTION**

+ **DESCRIPTION OF THE PRIOR ART**

+ **SUMMARY OF THE INVENTION**

+ **BRIEF DESCRIPTION OF THE DRAWINGS**

+ **DETAILED DESCRIPTION OF THE INVENTION**




⚡ First Claim:











[Show all claims](#) 1. A method for stimulating osteoblast proliferation comprising: selecting substances of the general formula I X-L-Z, Formula I wherein: lo X is selected from the group consisting of: R1 R5 R2 R3 R4 R1 R1 0 R1 5 R3 S R5 R2 R2 0@k Z R2 @Pe N @% R2 R-j R3 t R3 R1 R3 R4 R5 R3 R4 R4 R2 N N @t o r R2 R2 N R2 R3 N I 6H k5 L is selected from the group consisting of: N NV 1 AS'O@ 0OA7 AN or a single bond I k6 1 5 94

Z is selected from the group consisting of: R8 R8 R13 R8 R9 R13 N R9 N R9 or R12 RIO RIO 11 12 RI 12 RI I wherein: R1 is selected from the group consisting of: HI OHf Cl-C4 alkyl, Cl-C4 alkoxy, Cl-C4 alkylthio, halo and (Cl-C12)alkyl-carbonyloxy; R' is selected from the group consisting of: HI OH, halo, Cl-C6 alkyl, Cl-C6 alkenyl, Cl-C6 alkoxy and (Cl-C12)alkyl-carbonyloxy; R' is selected from the group consisting of: H, OH, halo, Cl-C6 alkyl, Cl-C6 alkoxy, Cl-C6 alkenyl and (Cl-C12)alkyl-carbonyloxy; R' is selected from the group consisting of: HI OH, halo, Cl-C6 alkyl, Cl-C6 alkoxy and (Cl-C12)alkyl-carbonyloxy; R5 is selected from the group consisting of: H, halo, Cl-C6 alkyl, Cl-C6 alkoxy, -OC(=O)Me, phthalimide and (Cl-C12)alkyl-carbonyloxy; R6 is selected from the group consisting of: HI OH, -NH21 Cl-C4 alkyl and Cl-C4 alkoxy; R7 is selected from the group consisting of: HI Cl-C4 alkyl, (Cl-C4)alkyl-carbonyl and (C7ClO)arylalkyl; R8 is selected from the group consisting of: H, OH, halo, -CF3t Cl-C4 haloalkyl, Cl-C4 alkyl, Cl-C4 alkoxy, -NHC(=O)Me and -N(Cl-C4 alkyl)2; R' is selected from the group consisting of: HI OH, halo, -CN, -NO2i Cl-C4 haloalkyl, Cl-C8 alkyl, Cl-C8 alkoxy, -NHC(=O)Me and -OC(=O)Me; 3o R'0 is selected from the group consisting of: HI OH, halo, -CNf -NO2 i Cl-C4 haloalkyl, -CO2Hj Cl-C12 alkyl, Cl-C12 alkoxy, phenyl, Cl-C12 alkenyl, (Cl95 C4)alkoxycarbonyl, -NHC(=O)Me, (Cl-Malkyl)carbonyl, (ClC12)alkylcarbonyloxy and heteroaryl; R" is selected from the group consisting of: HI OH, halo, Cl-C4 haloalkyl, -CF3, Cl-C4 alkyl, -NH2, Cl-C4 alkoxy, -NHC(=O)Me, Cl-C4 alkenyl, (Cl-Malkoxycarbonyl, (Cl-C4)alkylcarbonyl, and (Cl-C4)alkylcarbonyloxy; R12 is selected from the group consisting of: HI OHf -NH2 i Cl-C4 alkyl, Cl-C4 alkoxy, and (ClC4)alkylcarbonyl; and R13 is selected from the group consisting of: HI OH, halo, -NH2, Cl-C4 alkyl, Cl-C4 alkoxy, -N(Cl-C4 alkyl)2; and exposing cells comprising osteoblast cells to an effective amount of the pharmacologically active compound. 1 5 †

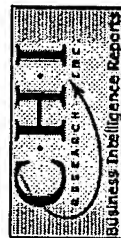
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			Renhowe; Paul		

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